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(FILE 'HOME' ENTERED AT 18:59:02 ON 07 MAY 2009)

FILE 'REGISTRY' ENTERED AT 18:59:14 ON 07 MAY 2009 L1 STRUCTURE UPLOADED

L2 10 S L1 L3 720 S L1 FUL

FILE 'CAPLUS' ENTERED AT 18:59:41 ON 07 MAY 2009

L4 57 S L3 L5 43 S L4 AND PY<2004

=> s 15 and respiratory 143933 RESPIRATORY 4 RESPIRATORIES 143936 RESPIRATORY

(RESPIRATORY OR RESPIRATORIES)

Ι

L6 10 L5 AND RESPIRATORY

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L6 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN GI

AB The N-oxides of I, [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 = (un)substituted alkoxy, alkylthio, acyl, alkoxymethyl, arylsulfonyl, sulfoxy, pyrrolidinyl, piperidinyl, etc.) were prepared as bronchial therapeutics. For example, (+)-cis-8,9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1,2,3,4,4a,10b-

(+)-cis-8,9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1,2,3,4,4a,10b-hexahydrophenanthridine N-oxide (II) was prepared in a multistep process by cyclocondensation of (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-4-methoxycarbonylbenzamide (preparation given) using POC13 in MeCN followed by oxidation In an assay against phosphodiesterase IV (PDE4), II showed inhibitory activity with -log ICS0 value of 6.91. As PDE4 inhibitors, the

N-oxides of I are useful in the treatment of airway disorders. 2002:72054 CAPLUS ΑN

DN 136:118394

TI Phenanthridine N-oxides

Gutterer, Beate; Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; IN Hatzelmann, Armin; Kley, Hans-Peter

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DT Patent

LA English FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE ----WO 2002006239 20020124 WO 2001-EP7821 20010707 <--PT A 1 W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EC, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRAI EP 2000-115276 20000714

OS MARPAT 136:118394

194735-23-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reactant for preparation of phenanthridine N-oxides for treatment of airway disorders)

RN 194735-23-4 CAPLUS

CN Benzoic acid, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6phenanthridinyl]-, methyl ester (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 5 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

т

AB Title compds. [I; R1, R2 = OH, cycloalkoxy, cycloalkylmethoxy, (fluoro)alkoxv; R1R2 = alkylenedioxy; R3, R4, R31 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; R6 = cycloalkyl(methyl); R7 = H, OH, halo, cyano, NO2, amino, (cyclo)alkyl(methyl), CF3, (fluoro)alkoxy, Ph(alkyl), OR8, SR9, COR10, CH2R11, SO2Ar, OSO2R12, CO2R14, CONR15R16, NR17R18, (2-oxo)pyrrolidin-1-yl, 2,5-dioxopyrrolidin-1-yl, 1-piperidinyl, 2-oxopiperidin-1-yl, 2,6-dioxopiperidin-1-yl, SO2R19, SO2NR15R16; R8 = cycloalkyl(methyl), alkoxyalkyl, Ar, phenylalkyl; R9 = H, alkyl(carbonyl), arylcarbonyl, CF3, CHF2, CC13, Ph; R10 = (cyclo)alkyl, cycloalkylmethyl, 1-pyrrolidinyl, 1-piperidinyl, (4-methyl)piperazinyl, 4-morpholinyl, Ar; R11 = OH, halo, cyano, CO2H, PhO, alkoxy(carbonyl), aminocarbonyl, NR15R16, alkylcarbonylamino; R12 = alkyl, amino, Ar; Ar = pyridyl, (R13-substituted) Ph; R13 = H, OH, halo, CO2H, NO2, amino, cyano, alkyl, CF3, alkoxy(carbonyl), alkylcarbonylamino, alkylcarbonyloxy, aminocarbonyl; R14, R15 = H, alkyl, cycloalkyl, cycloalkylmethyl; R16 = R14, Ar; R15R16N = 1-pyrrolidinyl, 1-piperidinyl, (4-methyl)piperazin-1-vl, 1-hexahydroazepinyl, 4-morpholinyl; R17 = H, alkyl, SO2R19, SO2Ar; R18 = alkyl(carbonyl), cycloalkyl(methyl)carbonyl, SO2R19, SO2Ar; R19 = alkyl; R20 = H, OH, halo, NO2, amino, (cyclo)alkyl(methyl), CF3, (fluoro)alkoxy, cycloalkoxy, cycloalkylmethoxy, CH2R10, CO2H, alkoxycarbonyl, alkylcarbonyloxy, alkylcarbonylamino, aminocarbonyl], were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-4-cyclohexylbenzamide (preparation given) was stirred with POC13 at 80° for 5 h. to give (-)-cis-6-(4-cyclohexylphenyl)-8,9-dimethoxy-1,2,3,4,4a,10bhexahydrophenanthridine. The latter inhibited PDE4 with -log IC50 = 8.22 М

AN 2002:72053 CAPLUS DN 136:118388

TI Preparation of 6-(cycloalkylphenyl)hexahydrophenanthridines as PDE4 inhibitors for treatment of airway disorders.

IN Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 29 pp.

CODEN: PIXXD2

DT Patent LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE 20020124 WO 2001-EP7817 WO 2002006238 A1 20010707 <--W: AE, AL, AU, BA, BG, BR, CA, CN, CO, CZ, EC, EE, GE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MK, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRAI EP 2000-115277 Α 20000714

MARPAT 136:118388 IT 391247-56-6P

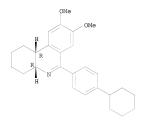
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 6-(cycloalkylphenyl)hexahydrophenanthridines as PDE4 inhibitors for treatment of airway disorders)

RN 391247-56-6 CAPLUS

CN Phenanthridine, 6-(4-cvclohexvlphenvl)-1,2,3,4,4a,10b-hexahvdro-8,9dimethoxy-, (4aR, 10bR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN GI

Ι

AB Compds. I, [which R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 is aminosulfonyl, carboxylic ester, carboxamide or a substituted tetrazol-5-yl radical, R18 is hydroxyl, halogen, nitro, amino, 1-4C-alkyl or 1-4C-alkoxy] or the salts, the N-oxide and the salts of the N-oxide of this compound were prepared as active PDE4 inhibitors. For example, cyclocondensation of (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3methoxycarbonyl-5-nitrobenzamide (preparation given) using POC13 in CH3CN gave (-)-cis-8,9-dimethoxy-6-[(3-methoxycarbonyl)-5-nitrophenyl]-1,2,3,4,4a,10bhexahydrophenanthridine (II). In an assay against phosphodiesterase IV (PDE4), II showed inhibitory activity with -log IC50 value of 8.14. As PDE4 inhibitors, I are useful in the treatment of airway disorders.

ΑN 2002:71777 CAPLUS 136:118398

DN ΤI Novel 6-phenylphenanthridines

IN Bundschuh, Daniela; Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Kley, Hans-Peter; Gutterer, Beate

PA Byk Gulden Lomberg Chemische Fabrik Gmbh, Germany

SO PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.	CNT 1																		
	PATE	IT I	NO.			KIN	D	DATE			APPL	ICAT:	ION	NO.		D	ATE		
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PI	WO 20	002	0056	16		A1		2002	0124		WO 2	001-	EP78:	29		20	010	707 <	-
	Ţ.	ī:	ΑE,	AL,	AU,	BA,	BG,	BR,	CA,	CN,	CO,	CZ,	EC,	EE,	GE,	HR,	HU,	ID,	
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			US,	VN,	YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM			
	E	₹W:	AT,	BE,	CH,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	
			PT,	SE,	TR														
	AU 20	010	0819	65		A		2002	0130		AU 2	001-	8196.	5		20	0010	707 <	-
PRAI	EP 20	000-	-115	278		A		2000	0714										
	WO 20	01-	-EP7	829		W		2001	0707										
os	MARPA	T/	136:	1183	98														
IT	3916	71-	72-0	P															

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(preparation in treatment of airway disorders)

RN 391671-72-0 CAPLUS

CN Benzoic acid, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-5-nitro-, methyl ester, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

II

AB Title compds., N-oxides of (I) [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 together form an alkylenedioxy group; R3 and R31 = independently H or alkyl; R5 and R51 = H; or R5 and R51 together form an alkylene group; R4 = H or alkyl; R5 and R51 = H; or R5 and R51 together form an addn1. bond; R6 = substituted

> Ph], were prepared as phosphodiesterase (PDE) IV inhibitors for use as bronchial therapeutics. For example, 3,4-(MeO)2C6H3CHO was condensed with MeNO2 and the nitrostyrene product cyclocondensed with CH2:CHCH:CH2 to give, in 3 addnl. steps, (-)-cis-1,2-dimethoxy-4-(2aminocyclohexyl) benzene. N-acylation with 4-MeSO2C6H4COCl, cyclization to

the hexahydrophenanthridine using POCl3, and N-oxidation with 3-ClC6H4CO3H in CH2C12 afforded (-)-cis-II, which inhibited PDE IV with -log IC50 of 6.09. 2001:526060 CAPLUS

ADDITORETON NO

DAME

AN DN 135:107257

- TΙ Preparation of arylphenanthridine N-oxides as PDE IV inhibitors
- IN Flockerzi, Dieter; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Kley, Hans-Peter; Gutterer, Beate
- PA BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

KIND DATE

SO. PCT Int. Appl., 27 pp. CODEN: PIXXD2

DT Patent.

Τ.Δ English

FAN.	CNT	1	
	DAT	ידואיםי	N

			APPLICATION NO.	
PI	WO 2001051470	A1 20010719	WO 2001-EP223	
	W: AE, AL, AU,	BA, BG, BR, CA,	CN, CZ, EE, GE, HR, HU,	ID, IL, IN,
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	YU, ZA, ZW,	AM, AZ, BY, KG,	KZ, MD, RU, TJ, TM	
	RW: AT, BE, CH,	CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,
	PT, SE, TR			
	CA 2396026	A1 20010719	CA 2001-2396026	20010110 <
	AU 2001037283	A 20010724	AU 2001-37283	20010110 <
	AU 782908	B2 20050908		
	EP 1250325	A1 20021023	EP 2001-909597	20010110 <
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	JP 2003519686	T 20030624	JP 2001-551852	20010110 <
	AT 394378	T 20080515	AT 2001-909597	20010110
	US 20030105123	A1 20030605	US 2002-149965	20020618 <
	US 6630483	B2 20031007		
PRAI	EP 2000-100482	A 20000111		
	WO 2001-EP223	W 20010110		
os	MARPAT 135:107257			

ΙT 350496-50-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of arylphenanthridine N-oxide PDE IV inhibitors by cyclocondensation of nitrostyrenes with butadienes, N-acylation, cvclization, and N-oxidation)

350496-50-3 CAPLUS RN

CN Phenanthridine, 1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-[4-(methylsulfonyl)phenyl]-, (4aR,10bR)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN GI

AB The title compds. (I) [wherein R1 and R2 = independently OH, (cyclo)alkoxy, cycloalkylmethoxy, or F-substituted alkoxy; or R1 and R2 taken together = 1,2-alkylenedioxy; R3, R31, and R4 = independently H or alkyl; or R3 and R31 taken together = alkylene; R5 and R51 = H or together form a double bond; R6 = (un)substituted alkoxy, alkylthio, acyl, alkoxymethyl, arylsulfonyl, sulfoxy, pyrrolidinyl, piperidinyl, etc.; R13 = H, OH, halo, CN, NO2, NH2, alkyl, C73, alkoxy, F-substituted alkoxy or Ph(alkyl), carboxy, carbamoyl, alkylsulfonyl, sulfamoyl, etc.; R20 = H, OH, halo, NO2, NH2, alkyl, CF3, alkoxy, F-substituted (cyclo)alkoxy, cycloalkylmethoxy, alkoxymethyl, carboxy, alkoxycarbonyl(oxy), (alkyl)carbamoyl, etc.) were prepared as bronchial therapeutics. For example, cyclocondensation of (-)-cis-N-[2-3,3,4-

dimethoxyphenyl)cyclohexyl | -4-benzoylbenzamide (preparation given) using P(O)Cl3 in CH3CN gave (-)-cis-II. In an assay against phosphodiesterase IV (PDE4), I showed inhibitory activity with -log IC50 values ranging from 7.16 to 8.87. As PDE4 inhibitors, I are useful in the treatment of airway disorders, erectile dysfunction, and inflammatory disorders. I are distinguished by low toxicity, good enteral absorption (high bioavailability), a large therapeutic breadth, and the absence of significant side-effects (no data).

AN 2000:493524 CAPLUS

DN 133:120245

ΤI Preparation of 6-phenylphenanthridines with PDE-IV inhibiting activity

IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate

Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany PA

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

English LA

FAN.CNT 1

FAN.	PATENT NO.					KIND DATE			APPLICATION NO.									
PI	WO	200004202 W: AE, JP,	AL, KR,	AU, LT,	A1 BA, LV,	BG,	2000	0720 CA, NO,	CN,	WO 2 CZ, PL,	000- EE, RO,	GE, SG,	HR, SI,	HU, SK,	ID, TR,	0000 IL,	112 IN,	
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	EP	1147089			A1		2001	1024		EP 2	000-	9015	34		2	0000	112	<
	EP	1147089																
		R: AT,																
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	TR	200101938	3		T2		2001	1221		TR 2	001-	1938			2	0000	112	<
	HU	200100500)1		A2		2002	0429		HU 2	001-	5001			2	0000	112	<
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	EE	200100350)		A		2002	1015		EE 2	001-	350			2	0000	112	<
	EΕ	5105			B1		2008	1215										
	NZ	512872			A		2003	0725		NZ 2	000-	5128	72		2	0000	112	<
	CN	1152864			С		2004	0609		CN 2	000-	8027	95		2	0000	112	
	AU	774868 200501553 312081 1650193			B2		2004	0708		AU 2	000-	2289	6		2	0000	112	
	TR	200501553	3		T2		2005	0621		TR 2	005-	1553			2	0000	112	
	AT	312081			Т		2005	1215		AT 2	000-	9015	34		2	0000	112	
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	IL	144026			A		2007	0515		IL 2	000-	1440:	26		2	0000	112	
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	NO	320182 2001MN008			В1		2005	1107		NO 2	001-	3341			2	0010	705	
	IN	2001MN008	315		A		2005	0218		IN 2	001-	MN81	5		2	0010	710	

	US 6476025	B1	20021105	US 2001-889144	20010712 <
	MX 2001007146	A	20011101	MX 2001-7146	20010713 <
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	HK 1040997	A1	20060714	HK 2002-102714	20020410
PRAI	EP 1999-100694	A	19990115		
	EP 2000-901534	A3	20000112		
	WO 2000-EP172	W	20000112		
os	MARPAT 133:120245				
TT	284675-46-3P. (-)-ci	8-6-14	-Chloromethy	/Inhenvill-8.9-dimethoxy-	

IT 284675-46-3P, (-)-cis-6-(4-Chloromethylphenyl)-8,9-dimethoxy

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of)

RN 284675-46-3 CAPLUS
CN Phenanthridine, 6-[4-(chloromethyl)phenyl]-1,2,3,4,4a,10b-hexahydro-8,9dimethoxy-, (4aR,10bR)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN GI

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AR
    Title compds. [I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy,
     fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 =
    alkylene; R5, R51 = H; R5R51 = bond; Ar = specified (substituted) bi- or
     tricyclyl], were prepared Thus, (-)-cis-N-[2-(3,4-
     dimethoxyphenyl)cyclohexyl]-3,4-methylenedioxybenzamide (preparation given) was
    heated with POC13 in MeCN at 80° for 3 h to give
    (-)-cis-6-benzo[1,3]dioxol-5-yl-8,9-dimethoxy-1,2,3,4,4a,10b-
     hexahydrophenanthridine. This inhibited PDE4 with -log IC50 = 7.28.
AN
   2000:493523 CAPLUS
DN
    133:104972
ΤI
    Preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors.
IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann,
    Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Goebel,
     Karl-Josef; Kley, Hans-Peter; Gutterer, Beate
     Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
PA
     PCT Int. Appl., 35 pp.
SO
    CODEN: PIXXD2
DТ
    Patent
LA
    English
FAN.CNT 1
    PATENT NO.
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                                        APPLICATION NO.
                                                               DATE
                             20000720
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    WO 2000042019
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        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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            IE, SI, LT, LV, FI, RO, CY
     JP 2002534507
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     AT 315029
                             20060215 AT 2000-901530
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ES 2255483 T3 2006701 US 6479505 B1 20021112 PRAI EP 1999-100705 A 19990115 WO 2000-EP152 W 20000112 OS MARPAT 133:104972

IT 283605-12-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

ES 2000-901530

US 2001-889143

20000112

20010712 <--

(preparation of 6-arylphenanthridines as phosphodiesterase IV inhibitors)

RN 283605-12-9 CAPLUS

CN Phenanthridine, 6-(1,3-benzodioxol-5-yl)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-, (4aS,10bS)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN L6 GI

- Title compds. (I; R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31, R4 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = double bond; R6 = substituted Ph), were prepared Thus, (-)-cis-N-[2-(3,4-dimethoxyphenyl)cyclohexyl]-3,4dinitrobenzamide (preparation given) was stirred in MeCN or PhMe at 80° with POC13 to give (-)-cis-8,9-dimethoxy-6-(3,4-dinitrophenyl)-1,2,3,4,4a,10b-hexahydrophenanthridine. The latter inhibited PDE4 with $-\log IC50 = 7.26$.
 - 2000:493522 CAPLUS
- AN 133:104971 DN
- ΤI Preparation of polysubstituted 6-phenylphenanthridines as phosphodiesterase IV inhibitors.
- IN Flockerzi, Dieter; Amschler, Hermann; Grundler, Gerhard; Hatzelmann, Armin; Bundschuh, Daniela; Beume, Rolf; Boss, Hildegard; Klev, Hans-Peter; Gutterer, Beate
 - Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
- PCT Int. Appl., 37 pp.
- CODEN: PIXXD2
- Patent

LA English FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
PI	WO 2000042018	A1 20000720	WO 2000-EP151	20000112 <		
			CN, CZ, EE, GE, HR, HU,			
			NZ, PL, RO, SG, SI, SK, KG, KZ, MD, RU, TJ, TM	IR, UA, US,		
		CY, DE, DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
	PT, SE CA 2360386	A1 20000720	CA 2000=2360386	20000112 <		
	EP 1163226	A1 20011219	EP 2000-907464	20000112 <		
	EP 1163226	B1 20070314	OD OD TH 17 111 111	OF NO DE		
	R: AT, BE, CH, IE, SI, LT,	LV. FI. RO. CY	GB, GR, IT, LI, LU, NL,	SE, MC, PI,		
	AT 356810	T 20070415	AT 2000-907464	20000112		
	US 6534518	B1 20030318	US 2001-889145	20010712 <		
PRAI		A 19990115				
os	WO 2000-EP151 MARPAT 133:104971	W 20000112				

IT 284020-40-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of polysubstituted 6-phenylphenanthridines as phosphodiesterase IV inhibitors)

RN 284020-40-2 CAPLUS

Phenanthridine, 6-(3,4-dinitrophenyl)-1,2,3,4,4a,10b-hexahydro-8,9-CN dimethoxy-, (4aR, 10bR)-rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

AB Title compds. | I; R = C6H4R6; R1,R2 = OH, (fluoro)alkoxy, cycloalky1(meth)oxy; R1R2 = OCH2.0 or OCH2CH2.0; R3,R4,R31 = H or alky1; R3R31 = alkylene; R6 = C02MR7R8 or CONPSR10; R7 = H, (cyclo)alky1, (un)substituted Ph, etc.; R8 = (cyclo)alky1, (un)substituted Ph, etc.; R9 = H or alky1; R10 = (un)substituted pyridy1 or -Ph; dashed line = optional addn1. bond] were prepared Thus, 3,4-(Me0)2C6H3CH0 was condensed with MeNo2 and the nitrostyrene product cyclocondensed with CH2:CHCH:CH2 to give, in 4 addn1. steps, (-)-cis-2-(3,4-dimethoxyphenyl)cyclohexanamine which was N-acylated by 4-(Me0)C6H4NOCC6H4(COC1)-3 to give (-)-cis-I [R = C6H4(CONHC6H4(OMe)-4]-3, R1 = R2 = OMe, R3 = R4 = R31 = H] as the N-oxide (II). Data for biol. activity of II were given.

AN 2000:493521 CAPLUS

DN 133:120241

TI Preparation of phenanthridine N-oxides as PDE-IV inhibitors

IN Flockerzi, Dieter; Amschler, Hermann; Hatzelmann, Armin; Bundschuh,

Daniela; Beume, Rolf; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany

SO PCT Int. Appl., 28 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

FAN.	CNT I																	
	PATENT NO.						KIND DATE			APPLICATION NO.				DATE				
						-												
PI	WO 20	000420	17		A1		2000	0720		WO 2	000-	EP15	0		2	0000	112 -	<
	W	AE,	AL,	AU,	BA,	BG,	BR,	CA,	CN,	CZ,	EE,	GE,	HR,	HU,	ID,	IL,	IN,	
		JP,	KR,	LT,	LV,	MK,	MX,	NO,	NZ,	PL,	RO,	SG,	SI,	SK,	TR,	UA,	US,	
		VN,	YU,	ZA,	ZW,	AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM				
	R	V: AT.	BE.	CH,	CY,	DE,	DK,	ES,	FI.	FR.	GB,	GR.	IE.	IT,	LU,	MC,	NL,	
		PT,	SE															
	CA 23.	9404			A1		2000	0720		CA 2	000-	2359	404		2	0000	112 -	<
	AU 20	000210	77		A		2000	0801		AU 2	000-	2107	7		2	0000	112 -	<
	EP 11-	17087			A1		2001	1024		EP 2	000-	9010	89		2	0000	112 -	<
	EP 11-	17087			B1		2005	0511										
	R	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO											
	JP 20	25345	0.5		T		2002	1015		JP 2	000-	5935	85		2	0000	112 -	<
	AT 29.	352			T		2005	0515		AT 2	000-	9010	89		2	0000	112	
	ES 22-	12594			Т3		2005	1116		ES 2	000-	9010	89		2	0000	112	
	US 20	20183	350		A1		2002	1205		US 2	001-	8891	42		2	0010	712 -	<
	US 65	38005			B2		2003	0325										

PRAI EP 1999-100707 A 19990115 WO 2000-EP150 W 20000112

OS MARPAT 133:120241 IT 284465-36-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of phenanthridine N-oxides as PDE-IV inhibitors)

RN 284465-36-7 CAPLUS

CN Benzamide, 3-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-5-oxido-6-phenanthridinyl]-N-(4-methoxyphenyl)-, rel-(-)- (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN GI

Ι

AB Title compds. [I; Rl, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R4, R31 = H, alkyl; R3R31 = alkylene; R5, R51 = H; R5R51 = bond; R6 = (substituted) tetrazolylphenyl], were prepared as phosphodiesterase IV inhibitors (no data). Thus, cis-9-ethoxy-8-methoxy-6-(4-cyanophenyl)-1,2,3,4,4a,10b-

> hexahydrophenanthridine (preparation given) was heated with NH4Cl and NaN3 in DMF at 120° for 24 h to give

cis-9-ethoxy-8-methoxy-6-[4-(2H-tetrazol-5-yl)phenyl]-1,2,3,4,4a,10bhexahydrophenanthridine.

- 1999:96217 CAPLUS AN
- DN 130:139348
- TI Preparation of tetrazolvlphenvlhexahvdrophenanthridines for treatment of airway disorders.
- Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas; Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-Peter; Gutterer, Beate
- PA Byk Gulden Lomberg Chemische Fabrik G.m.b.H., Germany
- SO PCT Int. Appl., 31 pp. CODEN: PIXXD2
- DT Patent
- English LA
- FAN CNT 1

FAN.				KIND	DATE	APPLICATION NO.	DATE		
PI	WO	W: AL, AU, LT, LV, ZW, AM,	BA, MK, AZ,	BG, BR, MX, NO, BY, KG,	CA, CN, NZ, PL, KZ, MD,	WO 1998-EP4477 CZ, EE, GE, HR, HU, ID, RO, SG, SI, SK, TR, UA, RU, TJ, TM	IL, JP, KR, US, VN, YU,		
		RW: AT, BE, PT, SE		CY, DE,	DK, ES,	FI, FR, GB, GR, IE, IT,	LU, MC, NL,		
	CA	2297923		A1	19990204	CA 1998-2297923	19980718 <		
	AU	9889770		A		AU 1998-89770			
	AU	753615		B2	20021024				
	EP	998460		A1	20000510	EP 1998-941361	19980718 <		
	EP	998460		B1	20040303				
						GB, GR, IT, LI, LU, NL,	SE, MC, PT,		
		IE, SI,	LT,	LV, FI,	RO				
	ΕE	200000033		A	20001016	EE 2000-33	19980718 <		
	EΕ	4054		B1					
	HU	2000002510 2000002510		A2	20001228		19980718 <		
	HU	2000002510		A3	20021028		10000710 .		
		2001510825 260899			20010807 20040315				
		293725				CZ 2000-282			
		2217575			20040714				
		133824			20051218				
		190685				PL 1998-338339			
		6410551			20020625				
PRAI	EP	1997-112792		A	19970725	110,00			
		1998-EP4477			19980718				
~ ~									

MARPAT 130:139348 OS

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tetrazolvlphenvlhexahydrophenanthridines for treatment of airway disorders)

220063-36-5 CAPLUS

Phenanthridine, 9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-6-[4-(2Htetrazol-5-yl)phenyl]-, (4aR,10bR)-rel- (CA INDEX NAME)

ΙT 220063-36-5P

Relative stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2009 ACS on STN

- AB Title compds. [1, R1, R2 = OH, alkoxy, cycloalkoxy, cycloalkylmethoxy, fluoroalkoxy; R1R2 = alkylenedioxy; R3, R31 = H, alkyl; R3R31 = alkylene; R4 = H, alkyl; R5, R51 = H; R5R51 = bond; R6 = (modified) carboxyphenyl], were prepared for treatment of airway diseases. Thus, cis-N-[2-(3, 4-dimethoxyphenyl)-cyclohexyl]-4-methoxycarbonylbenzamide (preparation given) was stirred 8 h at 50° with POC13 in MeCN to give 38.68 cis-8, 9-dimethoxy-6-[4-(methoxycarbonyl)phenyl]-1, 2,3,4,4a,10b-hexahydrophenanthridine. The latter inhibited phosphodiesterase IV with -log 1C50 = 7.39.
- AN 1997:533622 CAPLUS
- DN 127:205483
- OREF 127:39947a,39950a
- ${\tt TI}$ $\;\;$ Preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase ${\tt IV}$ inhibitors.
- IN Amschler, Hermann; Flockerzi, Dieter; Ulrich, Wolf-Rudiger; Bar, Thomas;

Martin, Thomas; Schudt, Christian; Hatzelmann, Armin; Beume, Rolf; Hafner, Dietrich; Boss, Hildegard; Kley, Hans-Peter; Goebel, Karl-Josef; Gutterer, Beate

- PA BYK Gulden Lomberg Chemische Fabrik G.m.b.H., Germany; Gutterer, Beate
- SO PCT Int. Appl., 38 pp. CODEN: PIXXD2
- DT Patent LA German
- FAN.CNT 1

			APPLICATION NO.	
PI	W: AL, AU, BA MK, MX, NO	A1 19970807 , BG, BR, CA, CN,	WO 1997-EP402 CZ, EE, GE, HU, IL, JP SI, SK, TR, UA, US, VN	19970130 <
	RW: AT, BE, CH DE 19603321	DE, DK, ES, FI, A1 19970807 A1 19970807	FR, GB, GR, IE, IT, LU DE 1996-19603321 CA 1997-2245142	19960131 <
	AU 9717199 AU 707058	A 19970822 B2 19990701	AU 1997-17199	
	EP 882021	B1 20030305	EP 1997-904354 GB, GR, IT, LI, LU, NL	
		, LV, FI, RO	CN 1997-193458	
	CN 1142914 HU 9900666 HU 9900666	C 20040324		
	HU 9900666 HU 221380 BR 9707233	B1 20020928	BR 1997-7233	
	NZ 331374	A 20000128	NZ 1997-331374	19970130 <
	JP 4138003 SK 282084 EE 3523	B2 20080820 B6 20011008	SK 1998-1024	19970130 <
	EE 3523 CZ 289340		EE 1998-223 CZ 1998-2414	10070120 .
	EE 3523 CZ 289340 IL 125286 AT 233735 ES 2194180	T 20030315 T3 20031116	L1 1997-125286 AT 1997-125286 AT 1997-904354 ES 1997-904354 PL 1997-328019 NO 1998-3505 US 1998-117507	19970130 < 19970130 < 19970130 <
	PL 187127	B1 20040531	PL 1997-328019 NO 1998-3505	19970130 19980730 <
PRAI	US 6191138 DE 1996-19603321 EP 1996-101791 WO 1997-EP402	B1 20010220 A 19960131 A 19960208		19980731 <
	WO 1997-EP402	W 19970130		

- OS CASREACT 127:205483; MARPAT 127:205483
- IT 194735-13-2P
 - RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREF (Preparation); USES (Uses)
 - (preparation of carboxyphenylhexahydrophenanthridines as phosphodiesterase IV inhibitors)
- RN 194735-13-2 CAPLUS
- CN Benzoic acid, 4-[(4aR,10bR)-1,2,3,4,4a,10b-hexahydro-8,9-dimethoxy-6-phenanthridinyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT